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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | AUG 09 | INSPEC enhanced with 1898-1968 archive |
| NEWS 4 | AUG 28 | ADISCTI Reloaded and Enhanced |
| NEWS 5 | AUG 30 | CA(SM)/CAplus(SM) Austrian patent law changes |
| NEWS 6 | SEP 11 | CA/CAplus enhanced with more pre-1907 records |
| NEWS 7 | SEP 21 | CA/CAplus fields enhanced with simultaneous left and right truncation |
| NEWS 8 | SEP 25 | CA(SM)/CAplus(SM) display of CA Lexicon enhanced |
| NEWS 9 | SEP 25 | CAS REGISTRY(SM) no longer includes Concord 3D coordinates |
| NEWS 10 | SEP 25 | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine |
| NEWS 11 | SEP 28 | CEABA-VTB classification code fields reloaded with new classification scheme |
| NEWS 12 | OCT 19 | LOGOFF HOLD duration extended to 120 minutes |
| NEWS 13 | OCT 19 | E-mail format enhanced |
| NEWS 14 | OCT 23 | Option to turn off MARPAT highlighting enhancements available |
| NEWS 15 | OCT 23 | CAS Registry Number crossover limit increased to 300,000 in multiple databases |
| NEWS 16 | OCT 23 | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded |
| NEWS 17 | OCT 30 | CHEMLIST enhanced with new search and display field |
| NEWS 18 | NOV 03 | JAPIO enhanced with IPC 8 features and functionality |
| NEWS 19 | NOV 10 | CA/CAplus F-Term thesaurus enhanced |
| NEWS 20 | NOV 10 | STN Express with Discover! free maintenance release Version 8.01c now available |
| NEWS 21 | NOV 13 | CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role |
| NEWS 22 | NOV 20 | CAS Registry Number crossover limit increased to 300,000 in additional databases |
| NEWS 23 | NOV 20 | CA/CAplus to MARPAT accession number crossover limit increased to 50,000 |
| NEWS 24 | NOV 20 | CA/CAplus patent kind codes will be updated |
| NEWS 25 | DEC 01 | CAS REGISTRY updated with new ambiguity codes |

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |
| NEWS X25 | X.25 communication option no longer available |

Enter NEWS followed by the item number or name to see news on that

specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:04:04 ON 05 DEC 2006

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 09:04:12 ON 05 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 DEC 2006 HIGHEST RN 914768-89-1
DICTIONARY FILE UPDATES: 4 DEC 2006 HIGHEST RN 914768-89-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

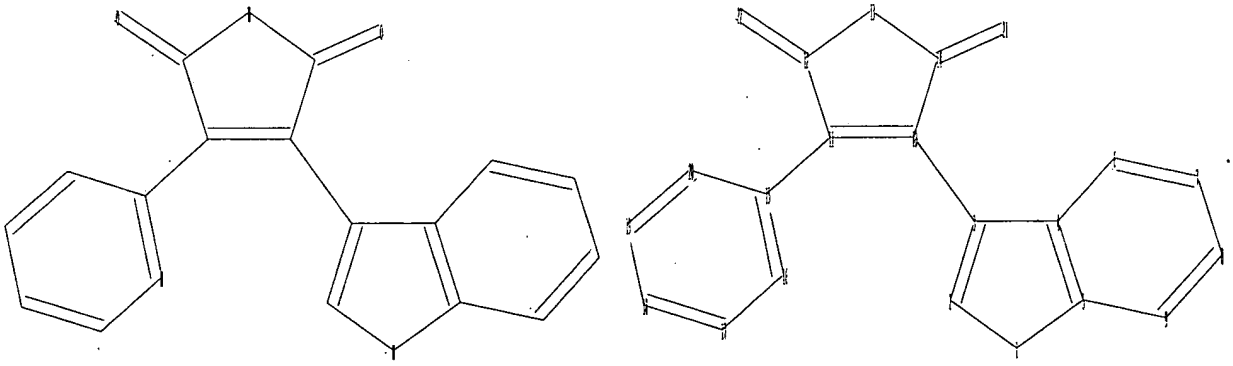
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10542175\Struc 3.str



chain nodes :

10542175a.trn

```
21  22
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  19  20
chain bonds :
3-10  11-15  12-22  14-21
ring bonds :
1-2  1-5  2-3  3-4  4-5  4-6  5-9  6-7  7-8  8-9  10-11  10-14  11-12  12-13  13-14
 15-16  15-20  16-17  17-18  18-19  19-20
exact/norm bonds :
1-2  1-5  2-3  3-4  10-11  10-14  11-12  12-13  12-22  13-14  14-21
exact bonds :
3-10  11-15
normalized bonds :
4-5  4-6  5-9  6-7  7-8  8-9  15-16  15-20  16-17  17-18  18-19  19-20


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:CLASS  22:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1                STR
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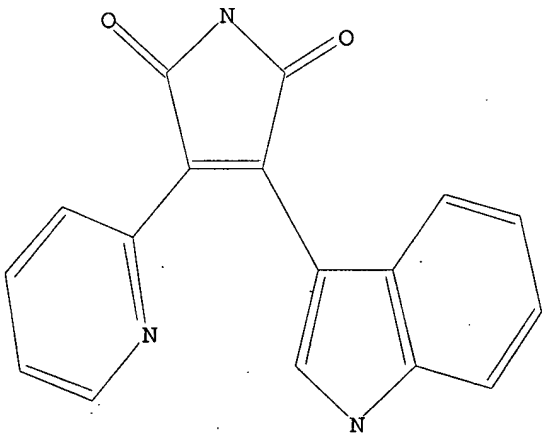


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Structure attributes must be viewed using STN Express query preparation.


=> l1
SAMPLE SEARCH INITIATED 09:04:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       97 TO ITERATE

100.0% PROCESSED       97 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**


10542175a.trn
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PROJECTED ITERATIONS:          1350 TO     2530
PROJECTED ANSWERS:                5 TO      234

L2             5 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 09:04:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2095 TO ITERATE

100.0% PROCESSED     2095 ITERATIONS                                  76 ANSWERS
SEARCH TIME: 00.00.01

L3            76 SEA SSS FUL L1

=> file medline caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'MEDLINE' ENTERED AT 09:04:33 ON 05 DEC 2006

FILE 'CAPLUS' ENTERED AT 09:04:33 ON 05 DEC 2006
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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> l3
L4             9 L3

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5             9 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr 1-9
```

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:918860 CAPLUS
DOCUMENT NUMBER: 145:299647
TITLE: Composition comprising an indolylmaleimide derivative
INVENTOR(S): Guitard, Patrice; Wolf, Marie-Christine
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 25pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006092255 | A1 | 20060908 | WO 2006-EP1767 | 20060227 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-4203 A 20050301

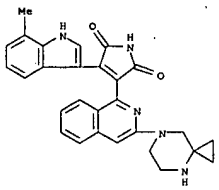
OTHER SOURCE(S): MARPAT 145:299647

AB The application relates to solid pharmaceutical compns. suitable for oral administration comprising a water sensitive drug, preferably an indolylmaleimide derivative, process for their production and use of the pharmaceutical compns. For example, tablets were prepared containing 3-(1H-indol-3-yl)-4-[2-(4-methylpiperazin-1-yl)quinazolin-4-yl]pyrrole-2,5-dione acetate salt 250 mg, lactose spray dried 200 mg, cellulose microcryst. 200 mg, hydroxypropyl Me cellulose 12.5 mg, Sta-RX 1500 40 mg, colloidal silicon dioxide 2.5 mg, and magnesium stearate 5 mg.

IT 611234-91-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising an indolylmaleimide derivative)

RN 611234-91-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

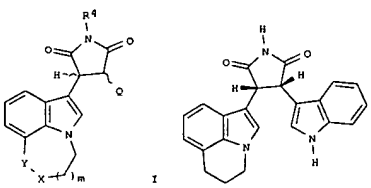
L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:817890 CAPLUS
DOCUMENT NUMBER: 145:230524
TITLE: Preparation of maleimide derivatives, pharmaceutical compositions and methods for treatment of cancer
INVENTOR(S): Li, Chiang J.; Ashwell, Mark Antony; Hill, Jason; Moussa, Magdi M.; Munshi, Neru
PATENT ASSIGNEE(S): Arqule, Inc., USA
SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006086484 | A1 | 20060817 | WO 2006-US4456 | 20060209 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006223760 A1 20061005 US 2006-350335 20060209
PRIORITY APPLN. INFO.: US 2005-650951P P 20050209

OTHER SOURCE(S): MARPAT 145:230524
GI

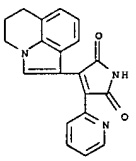


AB Title compds. I [R1-3 independently = H, halo, (un)substituted alkyl, etc.; R4 = H, alkyl, CH2R7; R5 and R6 independently = H or alkyl; R7 = aminocarboxylic acid group, peptide, OP(=O)(OH)2, OP(=O)(OH)(O-alkyl), OP(=O)(O-alkyl)2, etc.; Q = aryl, heteroaryl, aryloxy, etc.; X = CH2, S, O, NHR8; R8 = H, (un)substituted alkyl, cycloalkyl, etc.; Y = CH2 or

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
m = 1 or 2], and their pharmaceutically acceptable salts, are prepd. and disclosed as antitumor agents. Thus, e.g., II was prepd. by cyclocondensation of 2-(5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)-2-oxoethanoic acid Me ester (prepn. given) with indole-3-acetamide followed by redn. In bioassays for antitumor activity, II was found to possess an IC50 value of 2.89 with colon cancer and 4.04 with breast cancer. The present invention also relates to pharmaceutical compns. comprising pyrroloquinolinyl-pyrrole-2,5-dione compds. and pyrroloquinolinyl-pyrrolidine-2,5-dione compds. The present invention provides methods of treating a cell proliferative disorder, such as a cancer, by administering to a subject in need thereof a therapeutically effective amt. of a pyrroloquinolinyl-pyrrole-2,5-dione compd. or pyrroloquinolinyl-pyrrolidine-2,5-dione compd. of the present invention.

IT 905854-07-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of maleimide derivs., pharmaceutical compns. and methods for treatment of cancer)

RN 905854-07-1 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:696367 CAPLUS
DOCUMENT NUMBER: 141:225308
TITLE: Preparation of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3β
INVENTOR(S): Von Matt, Peter; Wagner, Juergen
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004072062 | A2 | 20040826 | WO 2004-EP1323 | 20040212 |
| WO 2004072062 | A3 | 20041104 | | |
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| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2513613 | AA | 20040826 | CA 2004-2513613 | 20040212 |
| EP 1597250 | A2 | 20051123 | EP 2004-710393 | 20040212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2004007512 | A | 20060214 | BR 2004-7512 | 20040212 |
| CN 1742005 | A | 20060301 | CN 2004-80002907 | 20040212 |
| JP 2006515004 | T2 | 20060518 | JP 2005-518422 | 20040212 |
| US 2006058356 | A1 | 20060316 | US 2005-542175 | 20050714 |
| PRIORITY APPLN. INFO.: | | | GB 2003-3319 A | 20030213 |
| | | | WO 2004-EP1323 W | 20040212 |

OTHER SOURCE(S): MARPAT 141:225308
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ra = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Rb = H, halo, alkyl, alkoxy; R = II, III (wherein R1, R3 = heterocyclyl, XRcY; X = a direct bond, O, S, NR11; R11 = H, alkyl; Rc = (un)substituted alkylene; Y = OH, (un)substituted NH2, etc.; R2, R4 = H, halo, alkyl, alkoxy, CF3, CN, NO2, NH2)], were prepared E.g., a multi-step synthesis of
IV which showed, for example, IC50 of 5.4 nM against PKCθ and IC50 of 18 nM against GSK-3β, is given. The pharmaceutical composition comprising the compound I is claimed.

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 748152-77-4P 748152-78-5P 748152-79-6P
748152-80-9P 748152-81-0P 748152-82-1P
748152-83-2P 748152-84-3P 748152-85-4P
748152-86-5P 748152-87-6P 748152-88-7P
748152-89-8P 748152-90-1P 748152-91-2P
748152-92-3P 748152-93-4P 748152-94-5P
748152-95-6P 748152-96-7P 748152-97-8P
748152-98-9P 748152-99-0P 748153-00-6P
748153-01-7P 748153-02-8P 748153-03-9P
748153-04-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylmaleimides for preventing or treating disorders or
diseases mediated by T lymphocytes and/or PKC or GSK-3β)
RN 748152-77-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)-2-pyridinyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 748152-76-3
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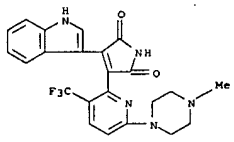
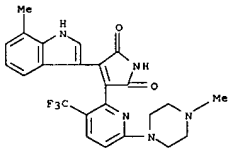


CM 2

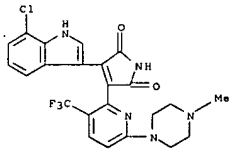
CRN 64-19-7
CMF C2 H4 O2

RN 748152-78-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-methyl-1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

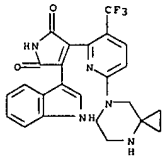
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-79-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-chloro-1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 748152-80-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[6-(4,7-diazaspiro[2.5]oct-7-yl)-3-(trifluoromethyl)-2-pyridinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



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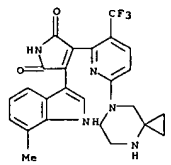
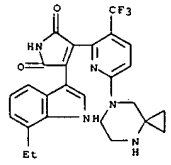
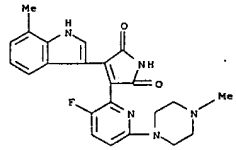
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-82-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[6-(4,7-diazaspiro[2.5]oct-7-yl)-3-(trifluoromethyl)-2-pyridinyl]-4-(7-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

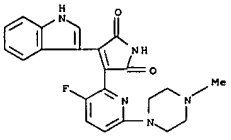


RN 748152-83-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-fluoro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

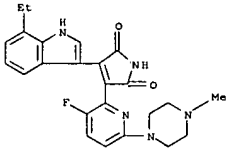


RN 748152-84-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-fluoro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

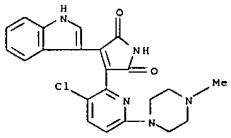
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-85-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(7-ethyl-1H-indol-3-yl)-4-[3-fluoro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

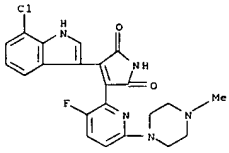


RN 748152-86-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-chloro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

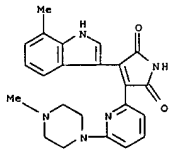


RN 748152-87-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-chloro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(7-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

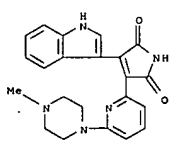
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-91-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-methyl-1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

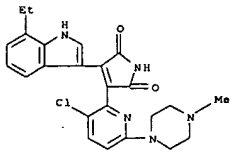


RN 748152-92-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

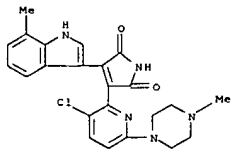


RN 748152-93-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(7-methyl-1H-indol-3-yl)-4-[3-methyl-6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

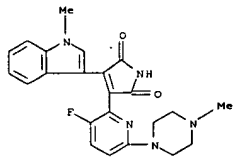
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-88-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-chloro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

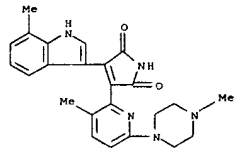


RN 748152-89-8 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-fluoro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

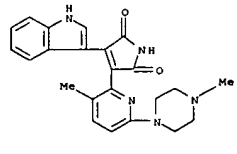


RN 748152-90-1 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(7-chloro-1H-indol-3-yl)-4-[3-fluoro-6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

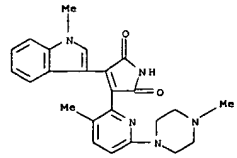
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-94-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-methyl-6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

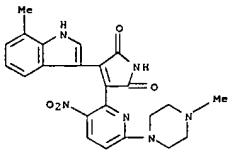


RN 748152-95-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1-methyl-1H-indol-3-yl)-4-[3-methyl-6-(4-methyl-1-piperazinyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

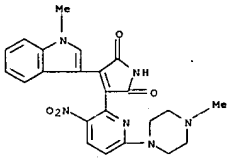


RN 748152-96-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-methyl-1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-nitro-2-pyridinyl]- (9CI) (CA INDEX NAME)

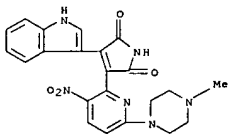
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748152-97-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-nitro-2-pyridinyl]- (9CI) (CA INDEX NAME)

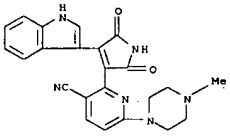


RN 748152-98-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[6-(4-methyl-1-piperazinyl)-3-nitro-2-pyridinyl]- (9CI) (CA INDEX NAME)

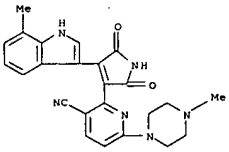


RN 748152-99-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-amino-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

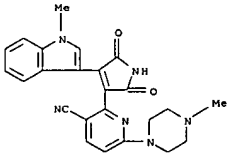
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



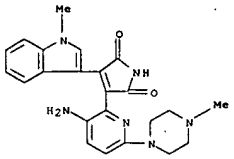
RN 748153-03-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2,5-dihydro-4-(7-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



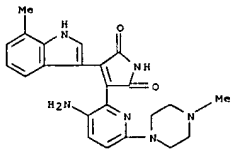
RN 748153-04-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



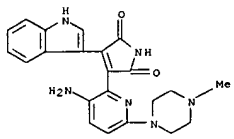
L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 748153-00-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-amino-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 748153-01-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-amino-6-(4-methyl-1-piperazinyl)-2-pyridinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 748153-02-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2,5-dihydro-4-(1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:796695 CAPLUS
DOCUMENT NUMBER: 139:307678
TITLE: Preparation of indolylmaleimides for treating diseases or disorders mediated by T lymphocytes and/or PKC
INVENTOR(S): Evenou, Jean-Pierre; Von Matt, Peter; Wagner, Juergen; Zenke, Gerhard
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003082859 | A1 | 20031009 | WO 2003-EP3470 | 20030402 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| CA 2477774 | AA | 20031009 | CA 2003-2477774 | 20030402 |
| AU 2003224031 | A1 | 20031013 | AU 2003-224031 | 20030402 |
| EP 1490355 | A1 | 20041229 | EP 2003-720413 | 20030402 |
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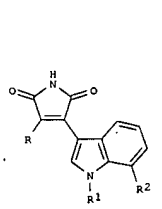
| BR 2003008979 | A | 20050104 | BR 2003-8979 | 20030402 |
| US 2005119274 | A1 | 20050602 | US 2003-510027 | 20030402 |
| CN 1639153 | A | 20050713 | CN 2003-805343 | 20030402 |
| JP 2005527563 | T2 | 20050915 | JP 2003-580325 | 20030402 |
| NO 2004004613 | A | 20041026 | NO 2004-4613 | 20041026 |

PRIORITY APPLN. INFO.: GB 2002-7729 A 20020403
GB 2003-3323 A 20030213
WO 2003-EP3470 W 20030402

OTHER SOURCE(S): MARPAT 139:307678
GI

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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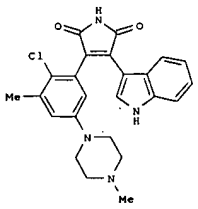


II

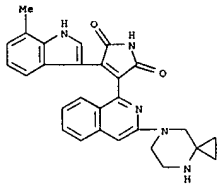
AB The title compds. [I; R1 = H, Me, Et, iso-Pr; R2 = H, halo, alkoxy, alkyl; R = substituted Ph, 1-naphthyl, 4-pyrimidinyl, 4-quinolinyl, 1-isoquinolinyl] which are useful in the treatment and/or prevention of diseases or disorders mediated by T lymphocytes and/or PKC, e.g. acute or chronic rejection of organ or tissue allo- or xenografts, graft vs. host diseases, atherosclerosis, vascular occlusion due to vascular injury such as angioplasty, restenosis, obesity, syndrome X, impaired glucose tolerance, polycystic ovary syndrome, hypertension, heart failure, chronic obstructive pulmonary disease, CNS diseases such as Alzheimer disease or amyotrophic lateral sclerosis, cancer, infectious diseases such as AIDS, septic shock or adult respiratory distress syndrome, ischemia/reperfusion injury e.g. myocardial infraction, stroke, gut ischemia, renal failure or hemorrhage shock, or traumatic shock, e.g. traumatic brain injury, were prepared The compds. I are also useful in the treatment and/or prevention of T-cell mediated acute or chronic inflammatory diseases or disorders or autoimmune diseases e.g. rheumatoid arthritis, osteoarthritis, systemic lupus erythematosus, Hashimoto's thyroiditis, multiple sclerosis, myasthenia gravis, diabetes type I or II and the disorders associated therewith, e.g. angiopathy, diabetic proliferative retinopathy, diabetic macular edema, nephropathy, neuropathy and dawn phenomenon, respiratory diseases such as asthma or inflammatory lung injury, inflammatory liver injury, inflammatory glomerular injury, cutaneous manifestations of immunol.-mediated disorders or illnesses, inflammatory and hyperproliferative skin diseases (such as psoriasis, atopic dermatitis, allergic contact dermatitis, irritant contact dermatitis and further eczematous dermatitises, seborrheic dermatitis), inflammatory eye diseases, e.g., Sjoegren's syndrome, keratoconjunctivitis or uveitis, inflammatory bowel disease, Crohn's disease or ulcerative colitis. Thus, reacting 2-[2-chloro-3-methyl-5-(4-methylpiperazin-1-yl)phenyl]acetamide (preparation given) with 3-indoleglyoxylate in the presence of tert-BuOK in THF afforded II. The compds. I showed IC50 of ≤ 1 μM against different isoforms of PKC. Pharmaceutical composition comprising the compound I is claimed.

IT 611234-92-5P 611234-93-6P 611234-94-7P
611234-95-8P 611234-96-9P 611234-97-0P
611234-98-1P 611234-99-2P 611235-00-8P
611235-01-9P 611235-02-0P 611235-03-1P
611235-04-2P 611235-05-3P 611235-06-4P
611235-07-5P 611235-08-6P 611235-09-7P
611235-10-0P 611235-11-1P 611235-12-2P
611235-13-3P 611235-14-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylmaleimides for treating diseases or disorders mediated by T lymphocytes and/or PKC)

RN 611234-92-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(7-methyl-1H-indol-3-yl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

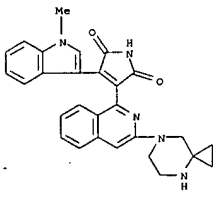
CRN 611234-91-4
CMF C28 H25 N5 O2



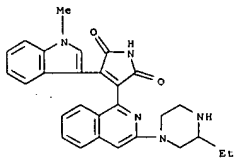
CM 2

CRN 64-19-7
CMF C2 H4 O2

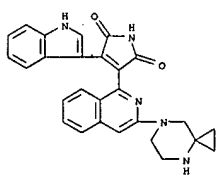
RN 611234-93-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



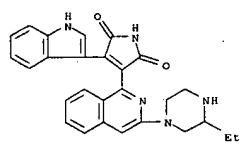
RN 611234-94-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(3-ethyl-1-piperazinyl)-1-isoquinolinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 611234-95-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

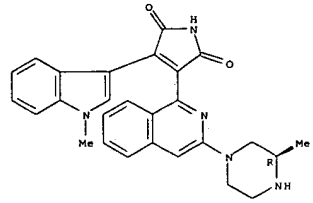


RN 611234-96-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(3-ethyl-1-piperazinyl)-1-isoquinolinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 611234-97-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[(3R)-3-methyl-1-piperazinyl]-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

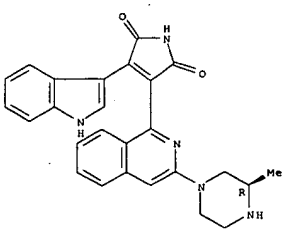
Absolute stereochemistry.



RN 611234-98-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-[(3R)-3-methyl-1-piperazinyl]-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

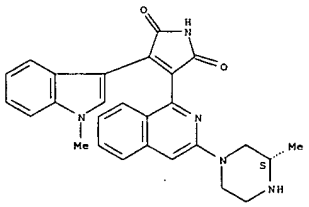
Absolute stereochemistry.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 611234-99-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[(3S)-3-methyl-1-piperazinyl]-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

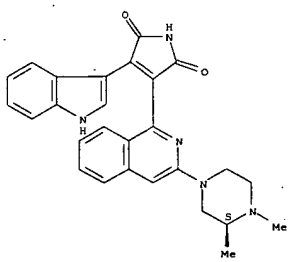
Absolute stereochemistry.



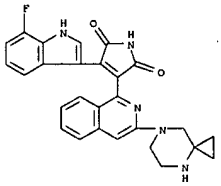
RN 611235-00-8 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1H-indol-3-yl)-4-[3-[(3S)-3-methyl-1-piperazinyl]-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

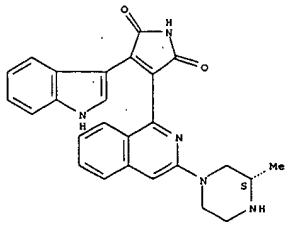


RN 611235-03-1 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(7-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



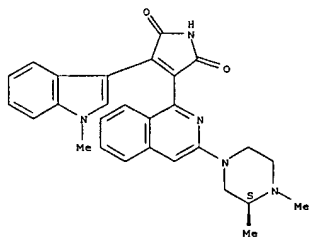
RN 611235-04-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(1-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 611235-01-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(3S)-3,4-dimethyl-1-piperazinyl]-1-isoquinolinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

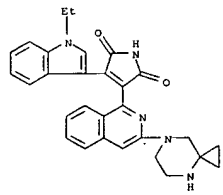
Absolute stereochemistry.



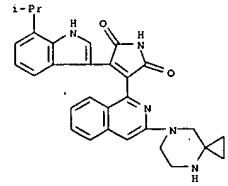
RN 611235-02-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(3S)-3,4-dimethyl-1-piperazinyl]-1-isoquinolinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

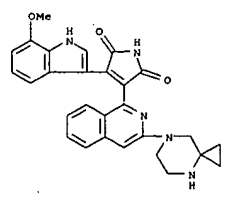
L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



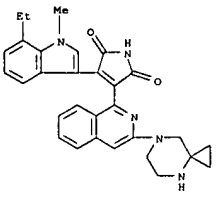
RN 611235-05-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-[7-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



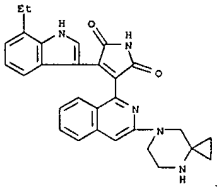
RN 611235-06-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-4-(7-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 611235-07-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-
4-(7-ethyl-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

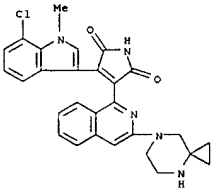


RN 611235-08-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-
4-(7-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

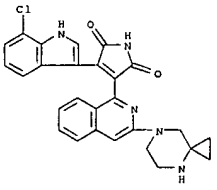


RN 611235-09-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-
4-[1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

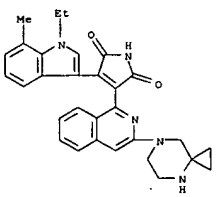
L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



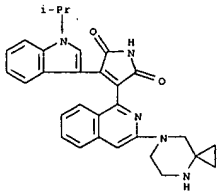
RN 611235-12-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-chloro-1H-indol-3-yl)-4-[3-(4,7-
diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)



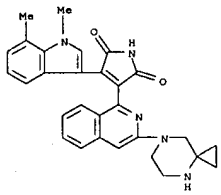
RN 611235-13-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-
4-(1-ethyl-7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

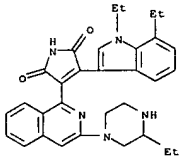


RN 611235-10-0 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]-
4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 611235-11-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-chloro-1-methyl-1H-indol-3-yl)-4-[3-(4,7-
diazaspiro[2.5]oct-7-yl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 611235-14-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1,7-diethyl-1H-indol-3-yl)-4-[3-(3-ethyl-1-
piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 . THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:737761 CAPLUS
DOCUMENT NUMBER: 139:261331
TITLE: Preparation of 3-(tricyclic fused heteroaryl) 4-heteroaryl substituted 2,5-dioxopyrroles as GSK-3β kinase inhibitors
INVENTOR(S): Clayton, Joshua Ryan; Diefenbacher, Clive Gideon; Engler, Thomas Albert; Furness, Kelly Wayne; Henry, James Robert; Malhotra, Sushant; Marquart, Angela Lynn; McLean, Johnathan Alexander; Mendel, David; Burkholder, Timothy Paul; Li, Yihong; Reel, Jon Kevin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; et al.
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

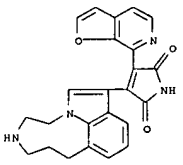
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003076442 | A1 | 20030918 | WO 2003-US5050 | 20030304 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2477967 | AA | 20030918 | CA 2003-2477967 | 20030304 |
| AU 2003215325 | A1 | 20030922 | AU 2003-215325 | 20030304 |
| EP 1483265 | A1 | 20041208 | EP 2003-711146 | 20030304 |
| EP 1483265 | B1 | 20061122 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008243 | A | 20050111 | BR 2003-8243 | 20030304 |
| US 2005090483 | A1 | 20050428 | US 2003-506459 | 20030304 |
| CN 1639165 | A | 20050713 | CN 2003-805292 | 20030304 |
| JP 2005526072 | T2 | 20050902 | JP 2003-574659 | 20030304 |
| PRIORITY APPLN. INFO.: | | | US 2002-362245P P | 20020305 |
| | | | WO 2003-US5050 W | 20030304 |

OTHER SOURCE(S): MARPAT 139:261331
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, alkyl; m = 0-4; R = (CH2)n, CHMe, CMe2, CH2Q1CH2, CHOHCHOHCH2; Q1 = CHOH, CO; n = 0-4; WXY = (CH2)3,

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

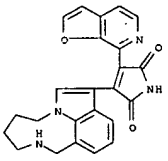


●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

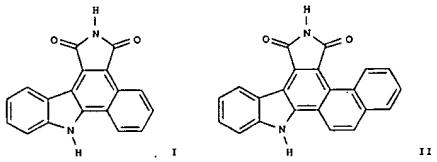
L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted CH2NHCH2, NHCOCH2, etc.; Ar = benzofuryl, benzothienyl, indolyl, etc.], useful for treating GSK-3β mediated diseases such as diabetes and Alzheimer's disease, were prepd. Thus, treating 3-(6,7-dihydro-6H-[1,4]diazepino[6,7,1-hj]indol-1-yl)-4-(imidazo[1,2-a]pyridin-3-yl)pyrrole-2,5-dione dihydrochloride (prepn. given) with di-Ph
cyanocarbonimidate in the presence of Et3N in iso-PrOH followed by addn. of morpholine afforded II. The exemplified compds. I exhibit IC50 of ≤ 0.2 μM against GSK-2β. Pharmaceutical compn. comprising the compd. I was claimed.
IT 603268-92-4P 603268-97-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(tricyclic fused heteroaryl) 4-heteroaryl substituted
2,5-dioxopyrroles as GSK-3β kinase inhibitors)
RN 603268-92-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-furo[2,3-c]pyridin-7-yl-4-(1,2,3,4,5,6-hexahydropyrrolo[3,2,1-lm][1,6]benzodiazonin-9-yl)-, dihydrochloride (9CI)
(CA INDEX NAME)



●2 HCl

RN 603268-97-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-furo[2,3-c]pyridin-7-yl-4-(4,5,6,7,8,9-hexahydropyrrolo[3,2,1-lm][1,4]benzodiazonin-1-yl)-, dihydrochloride (9CI)
(CA INDEX NAME)

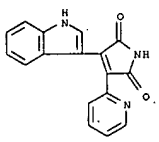
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:795114 CAPLUS
DOCUMENT NUMBER: 140:42054
TITLE: Aryl[a]pyrrolo[3,4-c]carbazoles as selective cyclin D1-CDK4 inhibitors
AUTHOR(S): Sanchez-Martinez, Concha; Shih, Chuan; Faul, Margaret M.; Zhu, Guoxin; Paal, Michael; Somoza, Carmen; Li, Tiechao; Kumrich, Christine A.; Winneroski, Leonard L.; Xun, Zhou; Brooks, Harold B.; Patel, Bharvin K. R.; Schultz, Richard M.; DeHahn, Tammy B.; Spencer, Charles D.; Watkins, Scott A.; Considine, Eileen; Dempsey, Jack A.; Ogg, Catherine A.; Campbell, Robert M.; Anderson, Bryan A.; Wagner, Jill
CORPORATE SOURCE: DCR&T, Lilly Spain S.A., Madrid, 28108, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(21), 3835-3839
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:42054
GI



I II

AB The synthesis of analogs of Arcyriaflavin A, in which one indole ring is replaced by an aryl or heteroaryl ring, is described. These series of aryl[a]pyrrolo[3,4-c]carbazoles, e.g., I, were evaluated as inhibitors of Cyclin D1-CDK4. A potent and selective D1-CDK4 inhibitor, II (D1-CDK4 IC50 = 45 nM), has been identified. The potency, selectivity profile against other kinases, and structure-activity relationship (SAR) trends of
this class of compds. are discussed.
IT 635300-92-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of maleimides via heterocyclization of indolylglyoxylate with
arylacetamides followed by elimination in the preparation of arenopyrrolocarbazoles as cyclin D1-CDK4 inhibitors)
RN 635300-92-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

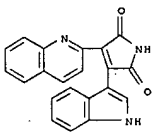
L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:236058 CAPLUS
DOCUMENT NUMBER: 139:127404
TITLE: Synthesis of quinolinyl/isoquinolinyl[a]pyrrolo [3,4-c] carbazoles as cyclin D1/CDK4 inhibitors
AUTHOR(S): Zhu, Guoxin; Conner, Scott; Zhou, Xun; Shih, Chuan; Brooks, Harold B.; Considine, Eileen; Dempsey, Jack A.; Ogg, Cathy; Patel, Bharvin; Schultz, Richard M.; Spencer, Charles D.; Teicher, Beverly; Watkins, Scott A.
CORPORATE SOURCE: A Division of Eli Lilly and Company, Lilly Research Laboratories, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(7), 1231-1235
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:127404

AB A novel series of pyrrolo[3,4-c] carbazoles fused with a quinolinyl/isoquinolinyl moiety were synthesized and their D1/CDK4 inhibitory and antiproliferative activity were evaluated. Compound 14H-isoquinolinyl[6,5-a]-pyrrolo[3,4-c]carbazole-7,9-dione was found to be a highly potent D1/CDK4 inhibitor with an IC50 of 69 nM. One compd.also inhibited tumor cell growth, arrested tumor cells in G1 phase and inhibited pRb phosphorylation.

IT 569337-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of quinolinylisoquinolinylpyrrolocarbazoles as cyclin D1-CDK4 inhibitors)

RN 569337-96-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(2-quinolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:368469 CAPLUS
DOCUMENT NUMBER: 136:386017
TITLE: Preparation of indolylmaleimide derivatives as protein kinase c inhibitors
INVENTOR(S): Albert, Rainer; Cooke, Nigel Graham; Cottens, Sylvain; Ehrhardt, Claus; Evenou, Jean-Pierre; Sedrani, Richard; Von Matt, Peter; Wagner, Juergen; Zenke, Gerhard
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002038561 | A1 | 20020516 | WO 2001-EP12785 | 20011105 |
| WO 2002038561 | C1 | 20031218 | | |
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| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
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| AU 2002021810 | A5 | 20020521 | AU 2002-21810 | 20011105 |
| US 2003069424 | A1 | 20030410 | US 2001-7368 | 20011105 |
| US 6645970 | B2 | 20031111 | | |
| EP 1337527 | A1 | 20030827 | EP 2001-993604 | 20011105 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001015193 | A | 20040203 | BR 2001-15193 | 20011105 |
| JP 2004513168 | T2 | 20040430 | JP 2002-541095 | 20011105 |
| NZ 525656 | A | 20041224 | NZ 2001-525656 | 20011105 |
| NZ 535616 | A | 20060331 | NZ 2001-535616 | 20011105 |
| ZA 2003003426 | A | 20040422 | ZA 2003-3426 | 20030505 |
| NO 2003002034 | A | 20030704 | NO 2003-2034 | 20030506 |
| US 2004053949 | A1 | 20040318 | US 2003-660442 | 20030911 |
| AU 2005202387 | A1 | 20050623 | AU 2005-202387 | 20050601 |

PRIORITY APPLN. INFO.: US 2000-246400P P 20001107
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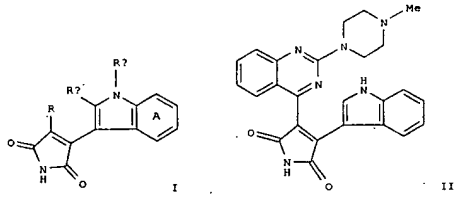
AU 2002-21810 A3 20011105
NZ 2001-525656 A1 20011105
US 2001-7368 A1 20011105
WO 2001-EP12785 W 20011105

OTHER SOURCE(S): MARPAT 136:386017
GI

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

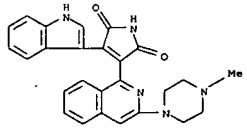


I II

AB Title compds. I [Ra = H, alkyl; Rb = H, alkyl; R = (un)substituted Ph, naphthyl, quinazolinyl, pyrimidinyl, etc.; ring A is optionally substituted] were prepared Examples include over 180 compds. and assays for activity with several protein kinase C (PKC) isoforms. For instance, 1H,3H-quinazolin-2,4-dione was converted to 2,4-dichloroquinazoline (POCl3, Me2NPh, 110°C) and used to alkylate Et acetoacetate (i. THF, NaH, 0°C; ii. PhMe, reflux; iii. NH4OH, overnight) resulting in the formation of 2-(2-chloroquinazolin-4-yl)acetamide. This was dissolved in NMP and reacted with excess N-methylpiperazine to give 2-[2-(4-methylpiperazin-1-yl)quinazolin-4-yl]acetamide. Reaction of the acetamide with 3-indoleglyoxylic acid Me ester (THF, KOBu-t, 0°C → room temperature, overnight) provided II as an orange-red powder. II had IC50 < 10 nM for PKC0. I are useful for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC.

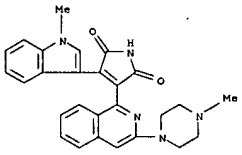
IT 425638-48-8P 425638-49-9P 425638-50-2P
425638-51-3P 425638-52-4P 425638-53-5P
425638-54-6P 425638-55-7P 425638-56-8P
425638-57-9P 425638-58-0P 425638-59-1P
425638-60-4P 425638-61-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of indolylmaleimide derivs. as protein kinase c inhibitors)

RN 425638-48-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

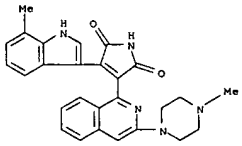


L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

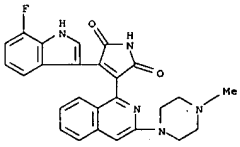
RN 425638-49-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)



RN 425638-50-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-methyl-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

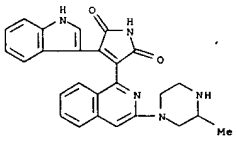


RN 425638-51-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-fluoro-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

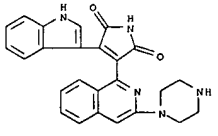


RN 425638-52-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-fluoro-1H-indol-3-yl)-4-[3-(1-piperazinyl)-1-

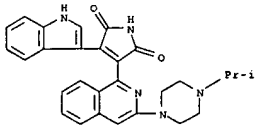
L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 425638-56-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-(1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

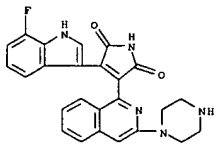


RN 425638-57-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-[4-(1-methylethyl)-1-piperazinyl]-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

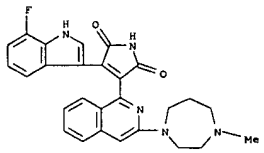


RN 425638-58-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-fluoro-1-methyl-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

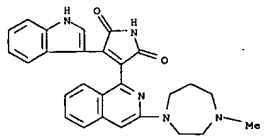
L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
isoquinolinyl]- (9CI) (CA INDEX NAME)



RN 425638-53-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-fluoro-1H-indol-3-yl)-4-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

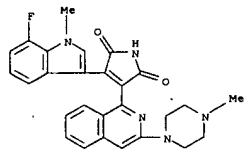


RN 425638-54-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-1-isoquinolinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

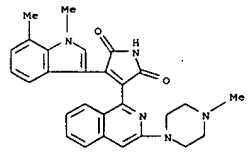


RN 425638-55-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-(3-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

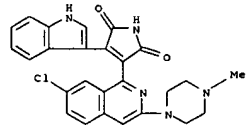
L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 425638-59-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1,7-dimethyl-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)

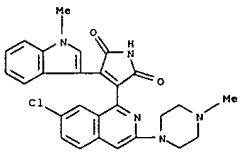


RN 425638-60-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[7-chloro-3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

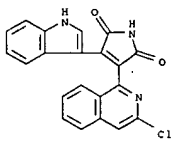


RN 425638-61-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[7-chloro-3-(4-methyl-1-piperazinyl)-1-isoquinolinyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 425638-77-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolylmaleimide derivs. as protein kinase c inhibitors)
RN 425638-77-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-chloro-1-isoquinolinyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:98378 CAPLUS
DOCUMENT NUMBER: 112:98378
TITLE: Preparation of 3-(3-indolyl)pyrrole-2,5-diones and analogs as protein kinase inhibitors
INVENTOR(S): Davis, Peter David; Hill, Christopher Huw; Lawton, Geoffrey
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 328026 | A1 | 19890816 | EP 1989-102025 | 19890206 |
| EP 328026 | B1 | 19930428 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 8900865 | A | 19891025 | ZA 1989-865 | 19890203 |
| CZ 280738 | B6 | 19960417 | CZ 1989-752 | 19890203 |
| SK 278989 | B6 | 19980506 | SK 1989-752 | 19890203 |
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| AU 623630 | B2 | 19920521 | | |
| HU 49348 | A2 | 19890928 | HU 1989-554 | 19890206 |
| HU 201054 | B | 19900928 | | |
| US 5057614 | A | 19911015 | US 1989-307104 | 19890206 |
| AT 88704 | E | 19930515 | AT 1989-102025 | 19890206 |
| CA 1320194 | A1 | 19930713 | CA 1989-590178 | 19890206 |
| ES 2054890 | T3 | 19940816 | ES 1989-102025 | 19890206 |
| DK 8900558 | A | 19890811 | DK 1989-558 | 19890207 |
| DK 171891 | B1 | 19970804 | | |
| JP 01233281 | A2 | 19890919 | JP 1989-27741 | 19890208 |
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| NO 172540 | B | 19930426 | | |
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| SU 1799382 | A3 | 19930228 | SU 1989-4613492 | 19890209 |
| FI 8900652 | A | 19890811 | FI 1989-652 | 19890210 |
| FI 96861 | B | 19960531 | | |
| FI 96861 | C | 19960910 | | |
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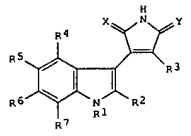
L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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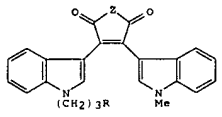
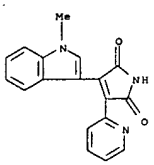


II

AB The title compds. (I; R1, R2 = H, alkyl, aryl, etc.; R3 = aryl, heteroaryl; R4-R7 = H, halo, alkyl, alkoxy, etc.; 1 of X, Y = O and the other = O, S, H and OH, H and H) were prepared Thus, 1-(3-bromopropyl)indole (preparation given) was stirred 2 h with (COCl)2 in CH2Cl2 and the product stirred 3 h with 1-methyl-3-indolylacetic acid in CH2Cl2 containing (Me2CH)2NEt to give bis(indolyl)furandione II (R = Br, Z = O) which was converted in 3 steps to II (R = NH2, Z = NH). The latter was stirred 16 h with 1,1'-thiocarbonyldiimidazole in THF to give II (R = NCS, Z = NH) which had IC50 of 0.008 μM for inhibition of protein kinase C in vitro.

IT 125314-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as protein kinase inhibitor)
RN 125314-62-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                        -6.75      -6.75

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:05:08 ON 05 DEC 2006
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